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 * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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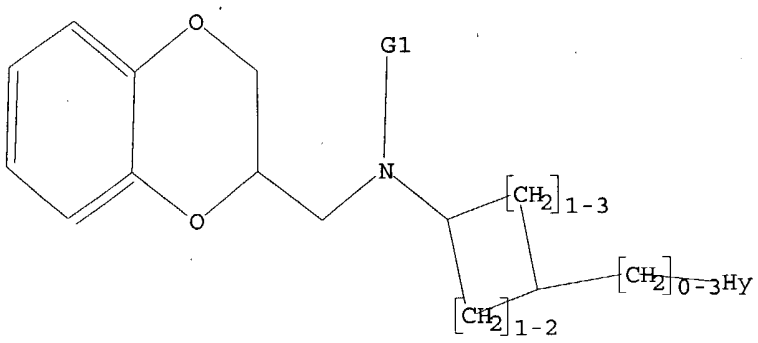


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Structure attributes must be viewed using STN Express query preparation.


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=> s l3 not l5
L6            26 L3 NOT L5

=> file ca

=> s l6
L7             1 L6

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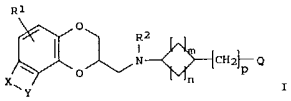
L7 ANSWER 1 OF 1 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:287396 CA
TITLE: Preparation of antidepressant cycloalkylamine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Stack, Gary Paul; Evrard, Deborah Ann; Shah, Uresh Shantilal
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024732	A1	20040325	WO 2003-US28459	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171667	A1	20040902	US 2003-659174	20030910

PRIORITY APPLN. INFO.: US 2002-410072P P 20020912
US 2003-659174 A 20030910

OTHER SOURCE(S): MARPAT 140:287396
GI

L7 ANSWER 1 OF 1 CA COPYRIGHT 2004 ACS on STN (Continued)



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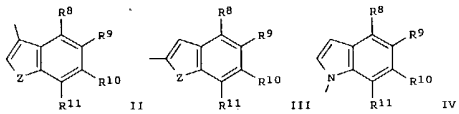


II III IV

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; R2 = H, alkyl; XY = N:CR3CR4:N, NCR3CR5:CH, N:CR3N:CH, N:CR3O, NHCR6:N, NHCR7:CH; R3, R4 = H, halo, NH2, mono- or dialkylamino, alkyl; R5 = H, alkyl; R6 = H, halo, CF3, pentafluoroethyl, NH2, etc.; R7 = H, halo, CF3, pentafluoroethyl, alkyl; Q = II-IV (wherein Z = NR12, S, O; R8-R11 = H, OH, halo, CN, etc.; R12 = H, alkyl); m = 1-3; n = 1-2; p = 0-3] and their pharmaceutically acceptable salts, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepd. Thus, reacting toluene-4-sulfonic acid [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl ester with cis-3-(1H-indol-3-yl)cyclopentylamine in DMSO afforded 18% N-[(cis)-3-(1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical compn. compriaing the compd. I is claimed.

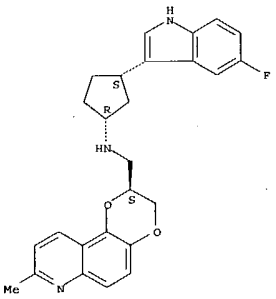
IT **675879-31-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 675879-31-9 CA

L7 ANSWER 1 OF 1 CA COPYRIGHT 2004 ACS on STN (Continued)

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **675879-31-9P 675879-32-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

IT **675879-28-4P 675879-29-5P 675879-30-8P**
675879-33-1P 675879-34-2P 675879-35-3P
675879-36-4P 675879-37-5P 675879-38-6P
675879-39-7P 675879-40-0P 675879-41-1P
675879-42-2P 675879-43-3P 675879-44-4P
675879-45-5P 675879-46-6P 675879-47-7P
675879-48-8P 675879-49-9P 675879-50-2P
675879-51-3P 675879-52-4P 675879-53-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9 ANSWER 1 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:287396 MARPAT
TITLE: Preparation of antidepressant cycloalkylamine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Stack, Gary Paul; Evrard, Deborah Ann; Shah, Uresh Shantilal
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024732	A1	20040325	WO 2003-US28459	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004171667	A1	20040902	US 2003-659174	20030910
PRIORITY APPLN. INFO.:			US 2002-410072P	20020912
			US 2003-659174	20030910

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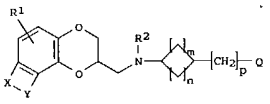

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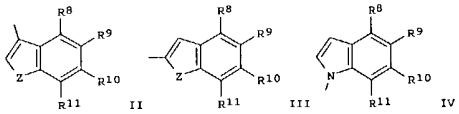
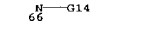


II III IV

L9 ANSWER 1 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



MPL.: claim 1
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L9 ANSWER 1 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; R2 = H, alkyl; XY = N:CR3CR4:N, NCR3CR5:CH, N:CR3N:CH, N:CR3O, NHCR6:N, NHCR7:CH; R3, R4 = H, halo, NH2, mono- or dialkylamino, alkyl; R5 = H, alkyl; R6 = H, halo, CF3, pentafluoroethyl, NH2, etc.; R7 = H, halo, CF3, pentafluoroethyl, alkyl; Q = II-IV (wherein Z = NR12, S, O; R8-R11 = H, OH, halo, CN, etc.; R12 = H, alkyl); m = 1-3; n = 1-2; p = 0-3] and their pharmaceutically acceptable salts, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepd. Thus, reacting toluene-4-sulfonic acid [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl ester with cis-3-(1H-indol-3-yl)cyclopentylamine in DMSO afforded 18% N-{(cis)-3-(1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical compn. comprising the compd. I is claimed.

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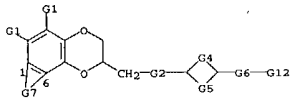

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G4 = (1-3) CH2
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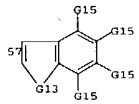

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L9 ANSWER 2 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:287394 MARPAT
TITLE: Preparation of antidepressant cycloalkylamine derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Evrard, Deborah Ann; Shah, Uresh Shantilal; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024723	A1	20040325	WO 2003-US28296	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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PRIORITY APPLN. INFO.:			US 2002-410169P	20020912

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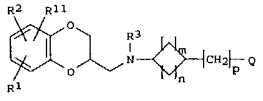

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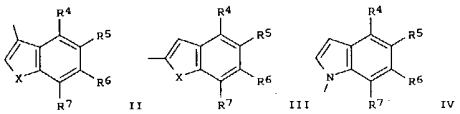
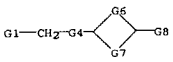


II III IV

AB The title compds. [I; R11, R1, R2 = H, halo, CN, carboxamido, etc.; R3 = H, alkyl; m = 1-3; n = 1-2; p = 0-3 (with the proviso that when p = 0, both m and n may not be 2); Q = II-IV (R4-R7 = H, halo, CN, etc.; X = NR8, O, S; R8 = H, alkyl)], useful for the treatment of depression (including

L9 ANSWER 2 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
but not limited to major depressive disorder, childhood depression and dysthymia, anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepd. Thus, reacting [(2R)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl 4-methylbenzenesulfonate with cis-3-(5-fluoro-1H-indol-3-yl)cyclopentylamine (prepn. given) in DMSO afforded 48% N-[(cis)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl}amine. The latter was sepd. into two diastereoisomers and biol. data (5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors were tested) were given for the mixt. and both sepd. isomers. The pharmaceutical compn. comprising the compd. I is claimed.

MSTR 1



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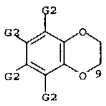

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G6 = (1-3) CH2
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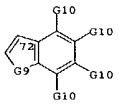

G9 = O
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L9 ANSWER 2 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L9 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 137:369975 MARPAT
TITLE: Preparation of 2-pyridine-cyclohexane-1,4-diamines as regulators of the ORL1 opioid receptor
INVENTOR(S): Sundermann, Bernd; Maul, Corinna; Buschmann, Helmut; Heller, Barbara
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090330	A1	20021114	WO 2002-EP5078	20020508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1385825	A1	20040204	EP 2002-750900	20020508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004931	A	20040102	NO 2003-4931	20031105
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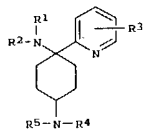
GI

L9 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



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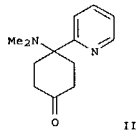

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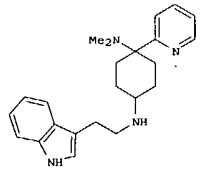
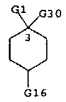


III

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH2CH2OCH2CH2, (CH2)3-6, CH2CH2NR6CH2CH2; R6 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, (un)substituted cycloalkyl, etc.; R4 = H, alkyl, C(X)R7; X = O, S; R7 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and tryptamine afforded after chromatog., the nonpolar diastereomer of diamine III.3HCl. In ORL1 opioid receptor binding assays, 6-specific examples of compds. I exhibited binding to the receptor with Ki values ranging from 0.013-0.47 .mu.M, e.g., the Ki of the nonpolar diastereomer of diamine III.HCl = 0.013 .mu.M. Compds. I may be useful in the treatment of anxiety, depression, epilepsy, etc.

MSTR 1



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L9 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

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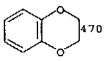
G17 = NH
G25 = 144

G28 = 470



MPL: claim 1
NTE: and salts, hydrates and/or protected derivatives
NTE: also incorporates claims 16 and 17
STE: and racemates and/or stereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

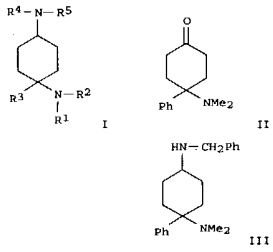
L9 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 137:369762 MARPAT
TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the ORL1 opioid receptor
INVENTOR(S): Sundermann, Bernd; Hennies, Hagen-Heinrich; Englberger, Werner; Koegel, Babette-Yvonne
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090317	A1	20021114	WO 2002-EP5051	20020508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10123163	A1	20030116	DE 2001-10123163	20010509
EP 1392641	A1	20040303	EP 2002-738038	20020508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004930	A	20040105	NO 2003-4930	20031105
US 2004162287	A1	20040819	US 2003-704329	20031110
PRIORITY APPLN. INFO.:			DE 2001-10123163	20010509
			WO 2002-EP5051	20020508

GI

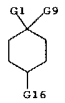
L9 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



I II III

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH2CH2OCH2CH2, (CH2)3-6, CH2CH2NR6CH2CH2; R6 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, cycloalkyl, (un)substituted aryl, etc.; R4 = H, alkyl, C(X)R7; X = O, S; R7 = H, alkyl, cycloalkyl, etc.; R5 = cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and benzylamine afforded after chromatog., the nonpolar diastereomer of diamine III.HCL. In ORL1 opioid receptor binding assays, 91-specific examples of compds. I exhibited binding to the receptor with Ki values ranging from 0.0004-0.75 .mu.M, e.g., the Ki of the nonpolar diastereomer of diamine III.HCL = 0.010 .mu.M. Compds. I may be useful in the treatment of anxiety, depression, epilepsy, etc.

MSTR 1

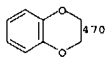


G1 = 16

L9 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G5 = O
G17 = NH
G25 = 144

G28 = 470



MPL: claim 1
NTE: and salts, hydrates and/or protected derivatives
NTE: also incorporates claims 57 and 58
NTE: substitution is restricted
STE: and racemates and/or stereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L9 ANSWER 5 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 137:369761 MARPAT
TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the .mu.-opioid receptor
INVENTOR(S): Friderichs, Elmar Josef; Sundermann, Bernd; Hinze, Claudia; Koegel, Babette-Yvonne
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089783	A1	20021114	WO 2002-EP5122	20020509
DE 10123163	A1	20030116	DE 2001-10123163	20010509
EP 1385493	A1	20040204	EP 2002-769145	20020509

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: DE 2001-10123163 20010509
WO 2002-EP5122 20020509

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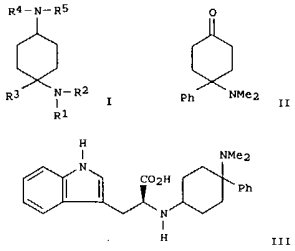
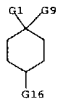
L9 ANSWER 5 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH2CH2OCH2CH2, (CH2)3-6, CH2CH2NR6CH2CH2; R6 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, cycloalkyl, (un)substituted aryl, etc.; R4 = H, alkyl, C(X)R7; X = O, S; R7 = H, alkyl, cycloalkyl, etc.; R5 = cycloalkyl, aryl, heteroaryl, etc.) and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and L-tryptophan Me ester hydrochloride, followed by ester hydrolysis, afforded after chromatog. and workup the calcium salt of the nonpolar diastereomer of diamine III. In .mu.-opioid receptor binding assays, 9-specific examples of compds. I exhibited binding to the receptor with Ki values ranging from 0.0008-0.140 .mu.M, e.g., the Ki of the calcium salt of the nonpolar diastereomer of diamine III = 0.0011 .mu.M. Compds. I may be useful in the treatment of irritable bowel syndrome, diarrhea, peripheral pain, etc.

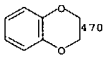
MSTR 1



L9 ANSWER 5 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
G1 = 16

G5 = O
G17 = NH
G25 = 144

G28 = 470



MPL: claim 1
NTE: and salts and/or hydrates
NTE: substitution is restricted
STE: and racemates and/or stereoisomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:56563 MARPAT
TITLE: Preparation of indol-3-ylcyclohexylamine derivatives for the treatment of depression
INVENTOR(S): Mewshaw, Richard E.; Zhou, Ping
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6162803	A	20001219	US 1999-287676	19990407

PRIORITY APPLN. INFO.: US 1998-104595P 19980408

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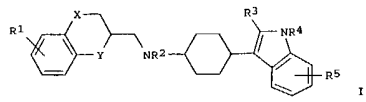
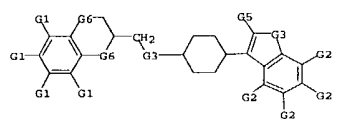


AB Compds. effective in treating disorders of the serotonin-affected neurol. symptoms are provided, such compds. having the structure I [R1, R5 = H, halo, lower alkoxy, lower alkyl, cyano, trifluoromethyl; R2, R4 = H, lower alkyl, Ph, substituted phenyl; R3 = H, lower alkyl; X, Y = O, NR6, CH2, wherein R6 = H, lower alkyl, Ph, substituted phenyl]. E.g., (3,4-dihydrobenzo[1,4]oxazin-2-ylmethyl)-[cis-4-(5-fluoro-1H-indol-3-yl)cyclohexyl]amine and (3,4-dihydrobenzo[1,4]oxazin-2-ylmethyl)-[trans-4-(5-fluoro-1H-indol-3-yl)cyclohexyl]amine were prepd.

MSTR 1



G3 = NH
G6 = O
MPL: claim 1
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

L9 ANSWER 6 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 7 OF 10 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 131:286525 MARPAT
TITLE: Preparation of (indol-3-yl)cyclohexylamine derivatives for the treatment of depression (5-HT1 receptor antagonists)
INVENTOR(S): Mewshaw, Richard Eric; Zhou, Ping
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951592	A1	19991014	WO 1999-US7606	19990407
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2327360	AA	19991014	CA 1999-2327360	19990407
AU 9934765	A1	19991025	AU 1999-34765	19990407
EP 1070063	A1	20010124	EP 1999-916450	19990407
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
JP 2002510682	T2	20020409	JP 2000-542313	19990407
PRIORITY APPLN. INFO.:			US 1998-57244	19980408
			WO 1999-US7606	19990407

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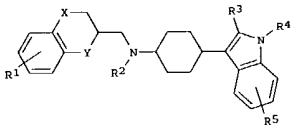
L9 ANSWER 7 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



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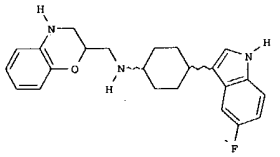
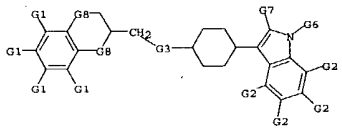


II

AB The title compds. [I; R1, R5 = H, halo, lower alkoxy, etc.; R2, R4 = H, lower alkyl, (un)substituted Ph; R3 = H, lower alkyl; X, Y = O, NR6, CH2; R6 = H, lower alkyl, (un)substituted Ph] or their pharmaceutically acceptable salts, effective in treating disorders of the serotonin-affected neurol. symptoms (5-HT1A receptor active) such as depression and anxiety, were prepd. Thus, a multistep synthesis of cis-II and trans-II which showed Ki of 44 nM and 24 nM in ST[3H]paroxetine assay, resp., was given.

MSTR 1



G3 = NH
G8 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L9 ANSWER 8 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:311824 MARPAT
TITLE: 1,4-Difunctionalized cyclohexane derivatives as ligands of 5-HT1a receptors
INVENTOR(S): Patoiseau, Jean-Francois; Dupont-Passelaigue, Elisabeth; Koek, Wouter
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920613	A1	19990429	WO 1998-FR2207	19981014
W: AU, BR, CA, CN, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2769913	A1	19990423	FR 1997-12954	19971016
FR 2769913	B1	20000310		
CA 2306429	AA	19990429	CA 1998-2306429	19981014
AU 9895458	A1	19990510	AU 1998-95458	19981014
AU 737178	B2	20010809		
EP 1023273	A1	20000802	EP 1998-949063	19981014
EP 1023273	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9812939	A	20000808	BR 1998-12939	19981014
JP 2001520223	T2	20011030	JP 2000-516955	19981014
AT 218553	E	20020615	AT 1998-949063	19981014
PT 1023273	T	20021031	PT 1998-949063	19981014
ES 2177064	T3	20021201	ES 1998-949063	19981014
CN 1127490	B	20031112	CN 1998-811038	19981014
US 6191130	B1	20010220	US 2000-529783	20000414
PRIORITY APPLN. INFO.:			FR 1997-12954	19971016
			WO 1998-FR2207	19981014

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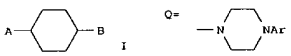
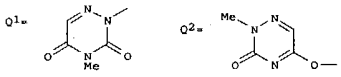


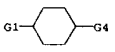


L9 ANSWER 8 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
AB The title compds. I [A represents a group such as Q in which Ar itself represents an arom. structure such as Ph or pyrimidinyl optionally substituted by one or several groups such as C1-C3 alkyl, C1-C3 alkoxy, trifluoromethyl or halogen; B represents a heterocyclic group such as:

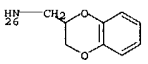
Q1,

Q2, etc.) were prepd. E.g., cis-2,4-dimethyl-6-[4-(4-pyrimidin-2-ylpiperazin-1-yl)cyclohexylamino]-2H-1,2,4-triazine-3,5-dione was prepd. 5-HT1a, D2 dopaminergic, and .alpha.1-adrenergic affinities of I were detd. Antidepressant activity of I was studied.

MSTR 1



G1 = 26



G4 = 31

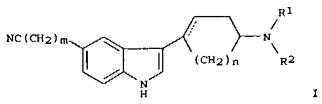
DER: and pharmaceutically acceptable acid salts
MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 9 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 124:175827 MARPAT
TITLE: Antidepressant 3-(aminocycloalkenyl)indole-5-nitrile derivatives
INVENTOR(S): Cipollina, Joseph A.; Mattson, Ronald J.; Sloan, Charles P.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5468767	A	19951121	US 1994-178073	19940106
US 5607961	A	19970304	US 1995-517999	19950822
PRIORITY APPLN. INFO.:			US 1994-178073	19940106

GI



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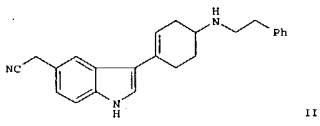
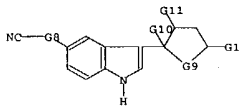


II

AB Title compds. I [R1 = H or C1-4 alkyl; R2 = C1-4 alkyl or (CH2)pAr; Ar = (un)substituted Ph, pyridinyl, pyrimidinyl or 1,4-benzodioxan-2-yl; m = 0 or 1; n = 1-3; p = 0-4; dotted line = optional double bond] are claimed, and several examples were prepd. and tested for use as antidepressants. For example, condensation of 1H-indole-5-acetonitrile with 4-[(2-phenylethyl)amino]cyclohexanone [prepn. given] in EtOH in the presence of pyrrolidine gave 35% title compd. II. Of 18 selected I [most with m = 0, all with n = 2 and double bond in ring], all 18 compds. had IC50 for in vitro inhibition of 5-HT uptake activity of < 100 nM, and 14 compds. had IC50 of < 10 nM.

MSTR 1

L9 ANSWER 9 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G1 = 22

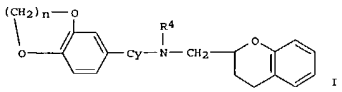
G4 = (0-4) CH2
G5 = 31

G9 = (1-3) CH2
DER: or pharmaceutically acceptable acid addition salts
MPL: claim 1

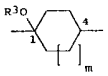
L9 ANSWER 10 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:83375 MARPAT
TITLE: (Aminomethyl)benzodioxanes and -benzopyrans as serotonergic receptor agonists
INVENTOR(S): Catt, John D.; Mattson, Ronald J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb, USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5391570	A	19950221	US 1993-136521	19931014
US 5496847	A	19960305	US 1995-378116	19950124
US 5658941	A	19970819	US 1995-572250	19951213
PRIORITY APPLN. INFO.:			US 1993-136521	19931014
			US 1995-378116	19950124

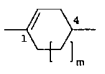
GI



I



II

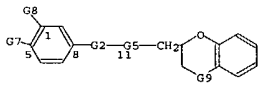


III

AB (Aminomethyl)benzopyran I or a pharmaceutically acceptable salt, amide or hydrate thereof wherein: n is 1,2 or 3; Cy is either II or III (m=0,1 or 2), with the Ph substituent at the 1 position of the cycloalkanyl or cycloalkenyl ring and the amino substituent at the 4 position; and R3 and R4 are independently H or C1-4 alkyl. Thus, e.g., reductive coupling of 2S-aminomethyl-1,4-benzodioxane with 4-(1,3-benzodioxol-5-yl)-4-hydroxycyclohexanone (prepn. given) afforded cis-4-[(2S-1,4-benzodioxan-2-yl)methylamino]-1-(1,3-benzodioxol-5-yl)cyclohexanol (81%) which had an IC50 < 1 nM at the 5-HT1A receptor (serotonergic 5-HT1A agonist activity).

MSTR 2

L9 ANSWER 10 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G1 = (1-3) CH2
G2 = 28-8 25-11

G5 = NH
G9 = O
G7 +G8 = 40-5 42-1

DER: and pharmaceutically acceptable salts and/or solvates
MPL: disclosure

```
=> d his

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     FILE 'REGISTRY' ENTERED AT 14:47:11 ON 15 SEP 2004
L1                STRUCTURE UPLOADED
L2              2 S L1 SAM
L3             61 S L1 FULL
L4                STRUCTURE UPLOADED
L5             35 S L4 FULL
L6             26 S L3 NOT L5

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L7              1 S L6

     FILE 'MARPAT' ENTERED AT 14:48:25 ON 15 SEP 2004
L8              0 S L1
L9             10 S L1 FULL

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:49:30 ON 15 SEP 2004
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